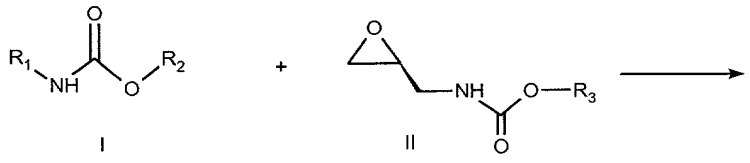
The present invention also is directed to the conversion of an isocyanate into the (S)-enantiomer of a 5-substituted-oxazolidinone in a single step. The (S)-enantiomers of 5-substituted-oxazolidinones have greater antibiotic activity than the racemates. U.S. Patent No. 5,332,754 discloses that racemic 5-acetamidomethyl- oxazolidinones can be synthesized in one step by condensation of a carbamate with racemic glycidyl acetamide in the presence of a base, such as an amine, alkali metal hydroxide, an alkali metal alkoxide, and the like, and that it is preferred to carry out the reaction at an elevated temperature, preferably at a temperature between 90°C and 110°C. The patent provides no yields or description of this process in the examples, and evidence indicates that, under these conditions, rearrangement to an undesired side product occurs. Indeed, the examples do not disclose a one-step process, but disclose multi-step routes that are known to those skilled in the art, including mesylation of a 5-hydroxymethyl-oxazolidinone followed by azide displacement, hydrogenation, and acetylation of the amine.

The present method differs in that a) the reaction is between a protected carbamate (I) and an (S)-glydidyl alkylcarbamate (II), an (S)-chlorohydrin alkylcarbamate (IV), or an (S)-chloroacetate alkylcarbamate (V) (Scheme B, NP = NHalkyl); b) the reaction is between an isocyanate (VI) and an (S)-glydidyl alkylcarbamate (II), an (S)-chlorohydrin alkylcarbamate (IV), or an (S)-chloroacetate alkylcarbamate (V) (Scheme B, NP =NHalkyl), and c) the reaction is performed under conditions such that competing rearrangement to the undesired side products is largely suppressed.

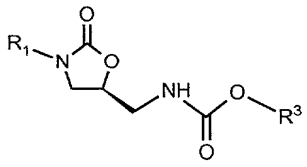
SUMMARY OF THE INVENTION

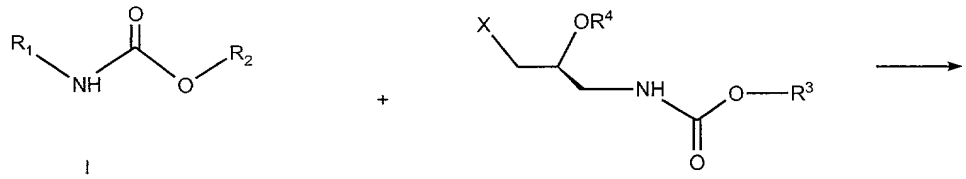
The present invention is directed to a method of synthesizing oxazolidinones and intermediate compounds used in the synthesis. As shown in Schemes 1, 2, and 3 below, one aspect of the present invention is to provide an

Scheme 1



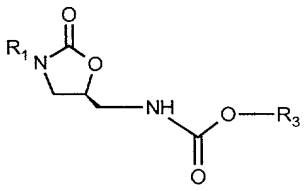
Scheme 2





I

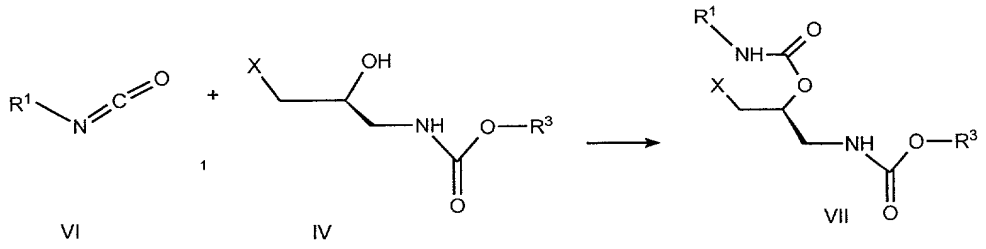
IV, R^4 = H
V, R^4 = C_1-C_6 alkylcarbonyl



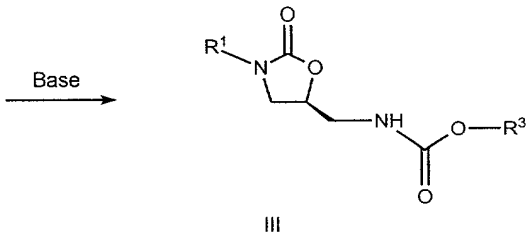
III

5

Scheme 3



VI IV VII



III